

# PATENT COOPERATION TREATY

## PCT

### INTERNATIONAL PRELIMINARY EXAMINATION REPORT (PCT Article 36 and Rule 70)

REC'D 08 DEC 2004



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Applicant's or agent's file reference <b>1151WOORD01</b>	<b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/416)	
International application No. <b>PCT/EP 03/13605</b>	International filing date (day/month/year) <b>03.12.2003</b>	Priority date (day/month/year) <b>06.12.2002</b>
International Patent Classification (IPC) or both national classification and IPC <b>C07D401/12</b>		
Applicant <b>ALTANA PHARMA AG et al</b>		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 5 sheets, including this cover sheet.  
  
☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).  
  
 These annexes consist of a total of    sheets.

3. This report contains indications relating to the following items:

- I    ☒ Basis of the opinion
- II   ☐ Priority
- III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV   ☐ Lack of unity of invention
- V    ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI   ☐ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☐ Certain observations on the international application

Date of submission of the demand  <b>11.06.2004</b>	Date of completion of this report  <b>09.12.2004</b>
Name and mailing address of the international preliminary examining authority:   <b>European Patent Office - P.B. 5818 Patentlaan 2 NL-2280 HV Rijswijk - Pays Bas Tel. +31 70 340 - 2040 Tx: 31 651 epo nl Fax: +31 70 340 - 3016</b>	Authorized Officer  <b>De Jong, B</b>  Telephone No. +31 70 340-2833  

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT**

International application No. **PCT/EP 03/13605**

**I. Basis of the report**

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

**Description, Pages**

1-12 as originally filed

**Claims, Numbers**

1-22 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
  - ☐ the language of publication of the international application (under Rule 48.3(b)).
  - ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).
3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:
- ☐ contained in the international application in written form.
  - ☐ filed together with the international application in computer readable form.
  - ☐ furnished subsequently to this Authority in written form.
  - ☐ furnished subsequently to this Authority in computer readable form.
  - ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
  - ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
- ☐ the claims, Nos.:
- ☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

*(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)*

6. Additional observations, if necessary:

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International application No. PCT/EP 03/13605

**III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application,

☒ claims Nos. 1-17

because:

☐ the said international application, or the said claims Nos. relate to the following subject matter which does not require an international preliminary examination (specify):

☒ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. 1-17 are so unclear that no meaningful opinion could be formed (*specify*):

**see separate sheet**

☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.

☐ no international search report has been established for the said claims Nos.

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

☐ the written form has not been furnished or does not comply with the Standard.

☐ the computer readable form has not been furnished or does not comply with the Standard.

**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

1. Statement

Novelty (N)	Yes: Claims	18-21
	No: Claims	22
Inventive step (IS)	Yes: Claims	
	No: Claims	18-22
Industrial applicability (IA)	Yes: Claims	18-22
	No: Claims	

2. Citations and explanations

**see separate sheet**

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EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/EP 03/13605

Reference is made to the following documents:

- D1: WO 96/02535 A (COTTON HANNA KRISTINA 1 February 1996  
D2: BONCHIO ET AL: "The first Chiral Zirconium(IV) catalyst for highly stereoselective sulfoxidation" JOURNAL OF ORGANIC CHEMISTRY., vol. 64, no. 4, 1999, pages 1326-1330, XP002242676  
D3: COTTON H ET AL: "Asymmetric synthesis of esomeprazole" TETRAHEDRON: ASYMMETRY, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 11, no. 18, 22 September 2000, pages 3819-3825, XP004224163

**Re Item III**

**Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

Claims 1-17 do not meet the requirements of Article 6 PCT in that the matter for which protection is sought is not clearly defined. The claims attempt to define the subject-matter in terms of the result to be achieved (i.e. "PPI having a sulphonyl structure"). This type of definition is only allowed in special cases where the invention either can only be defined in such terms or cannot otherwise be defined more precisely without unduly restricting the scope of the claims and if the result is one which can be directly and positively verified. These requirements are clearly not fulfilled by the subject-matter according to claims 1-17.

Due to the unclear definition in claim 1, it is e.g. not possible to determine whether D2 is novelty destroying for claim 1 of the present application.

**Re Item V**

**Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

**Novelty**

The subject-matter of claim 22 is not novel, because the claimed compounds are disclosed in D1 and D3. In this respect it is noted that a compound is not rendered novel merely by

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the fact that it is produced by a new process.

Inventive step

Claims 18-21 relate to a process in which known enantiomers of sulfinyl compounds are produced by oxidation of the corresponding (known) sulfides in the presence of a chiral zirconium complex or a hafnium complex.

D1, which is considered as the closest prior art, discloses a similar process in which a chiral titanium complex is used. The process of the present application differs from the process of D1 only due to the use of a zirconium- or hafnium-complex.

D2 discloses, that in processes in which enantiomers of sulfinyl compounds are produced by oxidation of the corresponding sulfides, both chiral zirconium complexes and chiral titanium complexes can be used. Furthermore D2 discloses that the use of zirconium complexes leads to a higher enantioselectivity.

In view of this prior art, the problem underlying the present application is to provide an alternative process for the preparation of the sulfinyl enantiomers which are mentioned in claim 18 of the present application.

To solve this problem, the skilled person would combine the teachings of D1 and D2 and would thus come to the process according to the present application without an inventive step.

## PCT REQUEST

1151WOORD01

Original (for SUBMISSION) - printed on 02.12.2003 08:55:45 AM


VIII-4-1	<p><b>Declaration: Inventorship (only for the purposes of the designation of the United States of America)</b> Declaration of inventorship (Rules 4.17(iv) and 51bis.1(a)(iv)) for the purposes of the designation of the United States of America:</p>	<p>I hereby declare that I believe I am the original, first and sole (if only one inventor is listed below) or joint (if more than one inventor is listed below) inventor of the subject matter which is claimed and for which a patent is sought.</p> <p>This declaration is directed to the international application of which it forms a part (if filing declaration with application).</p> <p>I hereby declare that my residence, mailing address, and citizenship are as stated next to my name.</p> <p>I hereby state that I have reviewed and understand the contents of the above-identified international application, including the claims of said application. I have identified in the request of said application, in compliance with PCT Rule 4.10, any claim to foreign priority, and I have identified below, under the heading "Prior Applications," by application number, country or Member of the World Trade Organization, day, month and year of filing, any application for a patent or inventor's certificate filed in a country other than the United States of America, including any PCT international application designating at least one country other than the United States of America, having a filing date before that of the application on which foreign priority is claimed.</p>
VIII-4-1 -1	Prior applications:	

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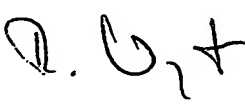
		<p>I hereby acknowledge the duty to disclose information that is known by me to be material to patentability as defined by 37 C.F.R. § 1.56, including for continuation-in-part applications, material information which became available between the filing date of the prior application and the PCT international filing date of the continuation-in-part application.</p> <p>I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.</p>
VIII-4-1 -1-1	Name:	KOHL, Bernhard
VIII-4-1 -1-2	Residence: (city and either US State, if applicable, or country)	Konstanz, Germany
VIII-4-1 -1-3	Mailing address:	Zum Brühl 9
VIII-4-1 -1-4	Citizenship:	DE
VIII-4-1 -1-5	Inventor's Signature: (if not contained in the request, or if declaration is corrected or added under Rule 26ter after the filing of the international application. The signature must be that of the inventor, not that of the agent)	
VIII-4-1 -1-6	Date: (of signature which is not contained in the request, or of the declaration that is corrected or added under Rule 26ter after the filing of the international application)	12 December 2003

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## PCT REQUEST

1151WOORD01

Original (for SUBMISSION) - printed on 02.12.2003 08:55:45 AM

VIII-4-1 -2-1	Name:	<b>MÜLLER, Bernd</b>
VIII-4-1 -2-2	Residence: (city and either US State, if applicable, or country)	<b>Konstanz, Germany</b>
VIII-4-1 -2-3	Mailing address:	<b>Bücklestr. 84a</b>
VIII-4-1 -2-4	Citizenship:	<b>DE</b>
VIII-4-1 -2-5	Inventor's Signature: (if not contained in the request, or if declaration is corrected or added under Rule 26ter after the filing of the international application. The signature must be that of the inventor, not that of the agent)	
VIII-4-1 -2-6	Date: (of signature which is not contained in the request, or of the declaration that is corrected or added under Rule 26ter after the filing of the international application)	11.12.03
VIII-4-1 -3-1	Name:	<b>WEINGART, Ralf Steffen</b>
VIII-4-1 -3-2	Residence: (city and either US State, if applicable, or country)	<b>Konstanz, Germany</b>
VIII-4-1 -3-3	Mailing address:	<b>Thingoltstr. 34</b>
VIII-4-1 -3-4	Citizenship:	<b>DE</b>
VIII-4-1 -3-5	Inventor's Signature: (if not contained in the request, or if declaration is corrected or added under Rule 26ter after the filing of the international application. The signature must be that of the inventor, not that of the agent)	
VIII-4-1 -3-6	Date: (of signature which is not contained in the request, or of the declaration that is corrected or added under Rule 26ter after the filing of the international application)	11.12.03